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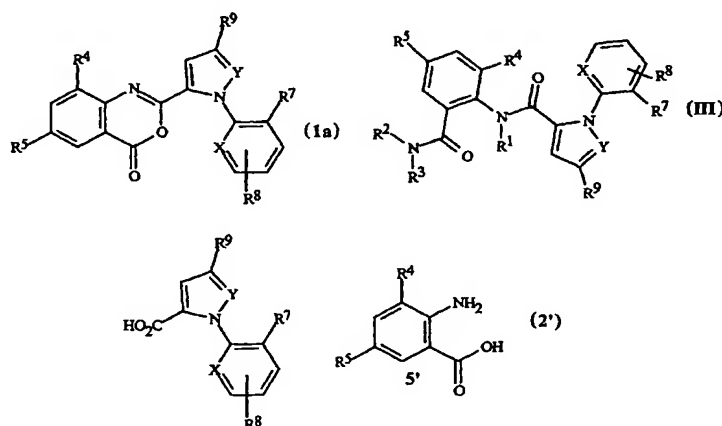
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(54) Title: METHOD FOR PREPARING FUSED OXAZINONES FROM ORTHO-AMINO AROMATIC CARBOXYLIC ACID AND A CARBOXYLIC ACID IN THE PRESENCE OF A SULFONYL CHLORIDE AND PYRIDINE



(57) Abstract: A method for preparing a fused oxazinone is disclosed in which (1) a carboxylic acid is contacted with a sulfonyl chloride in the presence of an optionally substituted pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5; (2) the mixture prepared in (1) is contacted with an *ortho*-amino aromatic carboxylic acid in the presence of an optionally substituted pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and (3) additional sulfonyl chloride is added in (3) to carboxylic acid charged in (1) being at least about 0.5. Also disclosed is a method for preparing a compound of Formula III, using a compound of Formula 1a that is characterized by preparing the fused oxazinone of Formula 1a by the method above, using a compound of the formula LS(O)₂Cl as the sulfonyl chloride, a compound of Formula 2' as the carboxylic acid, and a compound of Formula 5' as the *ortho*-amino aromatic carboxylic acid (FORMULA 1a) (FORMULA III) (FORMULA 2') (FORMULA 5') wherein L, X, Y and R¹ through R⁹ are as defined in the disclosure.



— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

WPI Data, CHEM ABS Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	RAMANA ET AL: "FACILE SYNTHESIS OF 2-ARYL-4H-3,1-BENZOXAZIN-4-ONES" ORG. PREP. PROCED. INT., vol. 25, 1993, pages 588-590, XP009023796 cited in the application page 589	1-11
P, X	WO 03 015518 A (DU PONT (US)) 27 February 2003 (2003-02-27) example 6, step D example 8, step E examples 18,19	1-11
P, X	WO 03 015519 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 1 and 2 examples 13,14	1-11



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 03 016282 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 5 and 7	1-11
P, X	WO 03 016283 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 5 and 7	1-11

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Information on patent family members

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 03015518	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03015519	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03016282	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03016283	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003